"DESIGN AND DEVELOPMENT OF CONTROLLED RELEASE ALGINATE MICROSPHERES FOR NON-STEROIDAL ANTI-INFLAMMATORY DRUGS"

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1. INTRODUCTION

1. Introduction

Controlled release refers to the use of drug delivery with the objective of releasing the drug into the patient at a predetermined rate or controlled rate at specific times or with specific release profile. With the problems like hygroscopicity of drug as in case of capsule and bioavailability problems associated with tablet, various advancements have been done to overcome the problems of conventional dosage form and microsphere is one of them. (Sharma N, 2015).

Microsphere is small spherical particle having the particle size range 0.1-200μm, and made up of biodegradable and non-biodegradable material and can be injected by 18 or 20 number of needles 5-6. Drug absorption and side effects due to the irritating drugs against the gastrointestinal mucosa is improved because of small particle size of microspheres which get widely distributed throughout the gastrointestinal tract (Sharma N, 2015).

The goal of this controlled drug delivery system is to provide a therapeutic amount of drug at the required site promptly and after achieving therapeutic level, to maintain the desired drug concentration at the site of action (Sharma N, 2015).

1.1 Advantages of microspheres over conventional dosage forms (Sharma N, 2015).

- Microspheres provide prolonged and constant therapeutic effect.
- Microspheres reduce the dosing frequency and therefore improve the patient compliance.
- Microspheres provide controlled, sustained and targeted delivery of the drug.
- Microspheres produce more reproducible drug absorption.
- Drug discharge in stomach is hindered and that’s why local unwanted effects are reduced.
- In case of microspheres, better therapeutic effect for short half-life of drugs can be achieved.

- Microspheres provide freedom from drug and recipients incompatibilities especially with buffer.
- Microspheres reduce dose dumping.
- Microspheres provide the protection of drugs against environment.
- Microspheres also mask the taste and odor.
- Microspheres avoids the first pass metabolism.
- Microspheres can be easily injected in body because of their small and spherical size.
- Microspheres enhance the biological half-life and also improve the bioavailability.
- Microspheres also reduce the chances of G.I. irritation.

1.2 Limitations of microspheres: (Sharma N, 2015).

- Controlled release rate of microspheres may vary due to certain factors like intrinsic or extrinsic factors may be food, rate of transit through gut, mucin turnover rate etc.
- There are differences in release from one to another dosage form.
- Low drug loading is done in case of parentral microspheres.
- In case of parentral application of microspheres it is difficult to remove carrier completely from the body.
- Parental delivery of microspheres may interact or form complex with blood components.
- The release of formulation can be modified.
- Any loss of integrity in release pattern may cause potential toxicity.
- Controlled release dosage form cannot be crushed and chewed. Types of polymer used in the preparation of microspheres

1.3 Application of Microspheres in Pharmaceutical Industry (Kadam NR 2015):-

1. Ophthalmic Drug Delivery
2. Oral drug delivery
3. Gene delivery
4. Nasal drug delivery
5. Intratumoral and local drug delivery
6. Buccal drug delivery

7. Gastrointestinal drug delivery
8. Transdermal drug delivery
9. Colonic drug delivery
10. Vaginal drug delivery

11. Targeting by using microparticulate carriers

2. LITERATURE REVIEW

2.1 Patil P *et al* (2018) was studied the utility of encapsulating hydroxypropyl methyl cellulose (Methocel K4M) in biodegradable microsphere delivery system, to be delivered orally *via* a capsule, to gives desired therapeutic action.

2.2 Deshmukh PP *et al* (2017) was studied Glibenclamide microspheres by ionotropic gelation method using sodium alginate and chitosan. Calcium chloride was used as a cross linking agent. Prepared microspheres were evaluated for entrapment efficiency, microsphere size, morphology, FTIR, DSC, in-vitro drug release and drug release kinetics. Prepared Glibenclamide microspheres were found discrete, free flowing and spherical. The mean particle size ranged from 349-540 μm and percentage yield ranged between 70 to 98.92%.

2.3 Seelam RK et al (2016) was studied the formulation of alginate microspheres with piroxicam by ionic gelation method. Obtained microspheres were characterized for particle size, drug content and invitro drug release. The prepared microspheres were found to be spherical, discrete and free fl owing. The average size of microspheres prepared was found to be 950 m. Among 2 formulations, batch A microspheres releases piroxicam slowly and spread over a period of 22 hrs, release was by Non-Fickian diffusion mechanism as the 'n' value was 0.65.

2.4 Rai SY *et al* (2016) was studied Clotrimazole-loaded Eudragit S100 microspheres were prepared by emulsion solvent evaporation method. A three level factorial Box-Behnken design was used to characterize and optimize the formulation. The result of analysis of variance test indicated that the test is significant. The optimum drug:polymer ratio (X1), surfactant concentration (X2) and stirring speed (X3) was found to be 1:4, 1.5% and 1000 rpm, respectively to obtain particle size and maximum entrapment efficiency of microspheres as 35.6 μm and 83.3%, respectively

3. AIM AND OBJECTIVE

3.1 Aim

To Design and develop controlled release alginate microspheres for non-steroidal anti-inflammatory drugs.

3.2 Objective

1. To provide prolonged and constant therapeutic effect.
2. To reduce the dosing frequency and therefore improve the patient compliance
3. To mask the taste and odor.
4. To provide controlled, sustained and targeted delivery of the drug.

4. PLAN OF WORK

4.1. Literature survey

4.2. Procurement of Drugs and Excipients

4.3. Preformulation study

4.4. Formulation of microspheres by solvent evaporation method.

4.6. Evaluation of microspheres

a) Determination of percentage yield

b) Morphological characterization

c) Flow Properties of Microspheres

d) Swelling studies

e) Encapsulation efficiency

f) In Vitro dissolution studies

4.7 Results and Discussion

5. REFERENCES

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